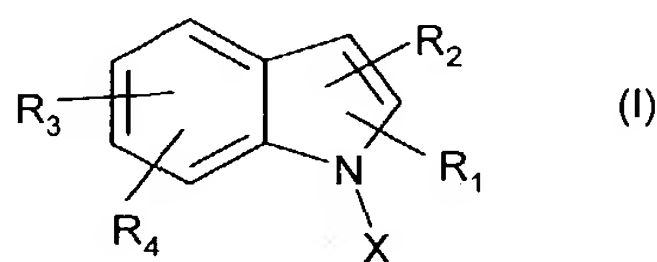
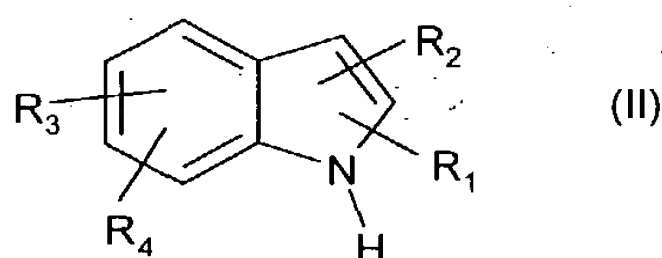


ABSTRACT OF THE DISCLOSURE

The present invention provides methods for the efficient preparation of indole derivatives of the formula



wherein X is methyl or benzyl; and R₁, R₂, R₃ and R₄ are independently hydrogen, halogen, cyano, nitro, hydroxy, optionally substituted alkyl, alkoxy, aralkoxy, carboxy, alkoxycarbonyl, aryl or heteroaryl; or R₁ and R₂ combined together with the carbon atoms to which they are attached form a fused 6-membered aromatic ring; by reacting indoles of the formula



wherein R₁, R₂, R₃ and R₄ have meanings as defined for formula I, with dimethyl carbonate when X is methyl, or with dibenzyl carbonate when X is benzyl, in the presence of a catalytic amount of a base at an ambient temperature to afford compounds of formula I wherein X, R₁, R₂, R₃ and R₄ have meanings as defined herein above. In particular, the present invention provides methylation and benzylation of the indole nitrogen in nearly quantitative yields using 1,4-diazabicyclo[2.2.2]octane as the base in a catalytic amount under mild conditions, wherein the alkylations may be conducted in the absence or the presence of an ionic liquid, under microwave irradiation or utilizing conventional heat, or combinations thereof.